

Application No.: 10/664,600

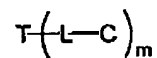
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**AMENDMENTS TO THE CLAIMS**

This listing of claims will replace all prior versions of claims in the application.

1. (Currently amended) A compound of the following formula:



wherein

T is a transportophore,

L is a bond or a linker having a molecular weight up to 240 dalton,

C is a non-antibiotic therapeutic agent, and

m is 1, 2, 3, 4, 5, 6, 7, or 8,

in which the transportophore has an immune selectivity ratio of at least 2, the transportophore is covalently bonded to the non-antibiotic therapeutic agent via the bond or the linker, the transportophore is an amphiphilic molecule having a pKa value of 6.5 to 9.5, and the compound has an immune selectivity ratio of at least 2.

2. (Cancelled)

3. (original) The compound of claim 1, wherein the transportophore is a cyclic or heterocyclic molecule.

4. (original) The compound of claim 3, wherein the cyclic or heterocyclic molecule has an attached sugar.

5. (Currently amended) The compound of claim 3, wherein the cyclic or ~~heterocyclic~~ heterocyclic molecule is a macrolactone or macroether.

6. (original) The compound of claim 5, wherein the macrolactone or macroether has an attached sugar.

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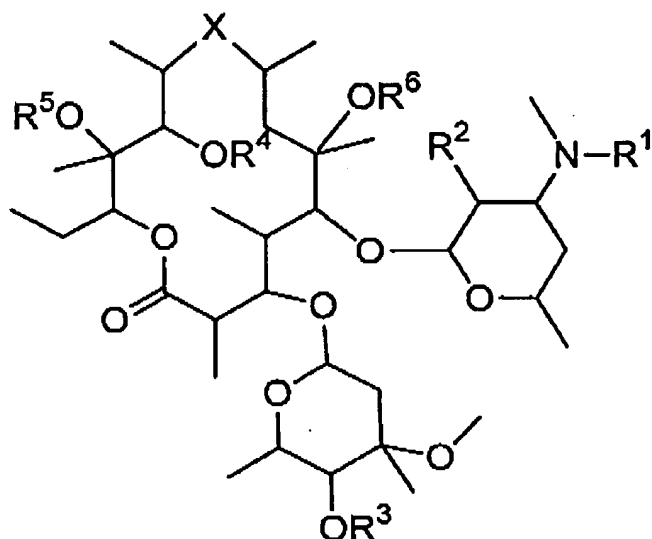
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7. (Currently amended) The compound of claim 3, wherein the cyclic or ~~heterocyclic~~ heterocyclic molecule is a macrolide or ketolide having an amino sugar.

8. (Currently amended) The compound of claim 7, wherein the cyclic or ~~heterocyclic~~ heterocyclic molecule is a macrolide having mono-, di-, or tri-basic groups.

9. (original) The compound of claim 1, wherein the compound is



wherein

$X = N(R^7)-CH_2$

$CH_2-N(R^7)$

$C(=O)$

$C(=NOR^8)$

$CH(OR^9)$

$CH(NR^{10}R^{11})$

$C(=NR^{12})$

$OC(=O)$

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 $C(=O)O$ 

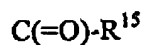
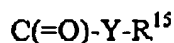
Y = independently linker

Z =  $C(=O)-$  $CH(R^{16})$  $R^1 = H$  $CH_3$  $(C_2-C_{10})alkyl$  $(C_1-C_{10})alkenyl$  $(C_1-C_{10})alkynyl$  $(C_1-C_8)[(C_1-C_4)alkoxy]alkyl$  $(C_1-C_8)[(C_1-C_4)alkoxy]alkenyl$  $(C_6-C_{10})aryl-(C_1-C_5)alkyl$  $(C_2-C_9)heteroaryl-(C_1-C_5)alkyl$  $(C_1-C_4)alkyliden-NR^{18}R^{19}$  $Y-R^{13}$  $C(=O)-Y-R^{15}$  $C(=O)-R^{15}$  $R^2 = H$  $(1',2'-cis)-OH$  $(1',2'-trans)-OH$  $(1',2'-cis)-OR^{15}$  $(1',2'-trans)-OR^{15}$  $(1',2'-cis)-SH$  $(1',2'-cis)-S-Y-R^{13}$ or the  $R^1$  and  $R^2$  bearing atoms are connected via a  $-OC(=O)CHR^{16}-$  element $R^3 = H$  $C(=O)-Y-R^{15}$  $C(=O)-R^{15}$  $R^4 = H$

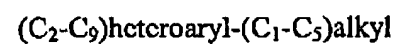
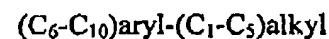
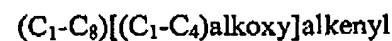
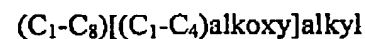
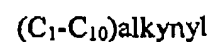
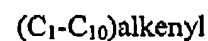
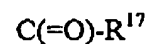
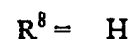
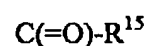
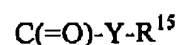
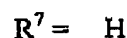
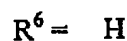
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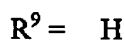
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or  $\text{R}^4, \text{R}^5$  are connected by Z



wherein alkyl, alkenyl, alkynyl, aryl, and heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen,  $(\text{C}_1\text{-C}_4)\text{alkyl}$ ,  $(\text{C}_1\text{-C}_4)\text{alkenyl}$ ,  $(\text{C}_1\text{-C}_4)\text{alkynyl}$ ,  $(\text{C}_3\text{-C}_7)\text{cycloalkyl}$ ,  $(\text{C}_1\text{-C}_6)\text{heterocycloalkyl}$ ,  $(\text{C}_6\text{-C}_{10})\text{aryl}$ ,  $(\text{C}_1\text{-C}_9)\text{heteroaryl}$ ,  $(\text{C}_1\text{-C}_4)\text{alkoxy}$ , hydroxy, nitro, cyano, azido, mercapto,  $-\text{NR}^{18}\text{R}^{19}$ ,  $\text{R}^{18}\text{C(=O)-}$ ,  $\text{R}^{18}\text{C(=O)O-}$ ,  $\text{R}^{18}\text{OC(=O)O-}$ ,  $\text{R}^{18}\text{NHC(=O)-}$ ,  $\text{R}^{18}\text{C(=O)NH-}$ ,  $\text{R}^{18}\text{R}^{19}\text{NC(=O)-}$  and  $\text{R}^{18}\text{OC(=O)-}$



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(C<sub>1</sub>-C<sub>10</sub>)alkyl  
 (C<sub>1</sub>-C<sub>10</sub>)alkenyl  
 (C<sub>1</sub>-C<sub>10</sub>)alkynyl  
 (C<sub>1</sub>-C<sub>8</sub>)[(C<sub>1</sub>-C<sub>4</sub>)alkoxy]alkyl  
 (C<sub>1</sub>-C<sub>8</sub>)[(C<sub>1</sub>-C<sub>4</sub>)alkoxy]alkenyl  
 (C<sub>6</sub>-C<sub>10</sub>)aryl-(C<sub>1</sub>-C<sub>5</sub>)alkyl  
 (C<sub>2</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>5</sub>)alkyl

wherein alkyl, alkenyl, alkynyl, aryl, and heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C<sub>1</sub>-C<sub>4</sub>)alkyl, (C<sub>1</sub>-C<sub>4</sub>)alkenyl, (C<sub>1</sub>-C<sub>4</sub>)alkynyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, hydroxy, nitro, cyano, azido, mercapto, -NR<sup>18</sup>R<sup>19</sup>, R<sup>18</sup>C(=O)-, R<sup>18</sup>C(=O)O-, R<sup>18</sup>OC(=O)O-, R<sup>18</sup>NHC(=O)-, R<sup>18</sup>C(=O)NII-, R<sup>18</sup>R<sup>19</sup>NC(=O)- and R<sup>18</sup>OC(=O)-

R<sup>10</sup>, R<sup>11</sup>= independently H  
 (C<sub>1</sub>-C<sub>10</sub>)alkyl  
 (C<sub>1</sub>-C<sub>10</sub>)alkenyl  
 (C<sub>1</sub>-C<sub>10</sub>)alkynyl  
 (C<sub>1</sub>-C<sub>8</sub>)[(C<sub>1</sub>-C<sub>4</sub>)alkoxy]alkyl  
 (C<sub>1</sub>-C<sub>8</sub>)[(C<sub>1</sub>-C<sub>4</sub>)alkoxy]alkenyl  
 (C<sub>6</sub>-C<sub>10</sub>)aryl-(C<sub>1</sub>-C<sub>5</sub>)alkyl  
 (C<sub>2</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>5</sub>)alkyl  
 (C<sub>1</sub>-C<sub>4</sub>)alkyliden-NR<sup>18</sup>R<sup>19</sup>  
 or R<sup>10</sup> = H and R<sup>11</sup> = -Y-R<sup>13</sup>  
 C(=O)-Y-R<sup>15</sup>, -C(=O)-R<sup>15</sup>

R<sup>12</sup>= H  
 (C<sub>1</sub>-C<sub>10</sub>)alkyl  
 (C<sub>1</sub>-C<sub>10</sub>)alkenyl  
 (C<sub>1</sub>-C<sub>10</sub>)alkynyl  
 (C<sub>1</sub>-C<sub>8</sub>)[(C<sub>1</sub>-C<sub>4</sub>)alkoxy]alkyl  
 (C<sub>1</sub>-C<sub>8</sub>)[(C<sub>1</sub>-C<sub>4</sub>)alkoxy]alkenyl

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(C<sub>6</sub>-C<sub>10</sub>)aryl-(C<sub>1</sub>-C<sub>5</sub>)alkyl(C<sub>2</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>5</sub>)alkyl(C<sub>1</sub>-C<sub>4</sub>)alkyliden-NR<sup>18</sup>R<sup>19</sup>Y-R<sup>13</sup>R<sup>13</sup>= independently, therapeutic agentR<sup>15</sup>= independently, therapeutic agentR<sup>16</sup>= independently, HCH<sub>3</sub>(C<sub>2</sub>-C<sub>10</sub>)alkyl(C<sub>1</sub>-C<sub>10</sub>)alkenyl(C<sub>1</sub>-C<sub>10</sub>)alkynyl(C<sub>1</sub>-C<sub>8</sub>)[(C<sub>1</sub>-C<sub>4</sub>)alkoxy]alkyl(C<sub>1</sub>-C<sub>8</sub>)[(C<sub>1</sub>-C<sub>4</sub>)alkoxy]alkenyl(C<sub>6</sub>-C<sub>10</sub>)aryl-(C<sub>1</sub>-C<sub>5</sub>)alkyl(C<sub>2</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>5</sub>)alkyl(C<sub>1</sub>-C<sub>4</sub>)alkyliden-NR<sup>18</sup>R<sup>19</sup>Y-R<sup>13</sup>,R<sup>17</sup>= O-R<sup>20</sup>-aryl

optionally substituted by -X'-Y- therapeutic agent, X'-therapeutic agent wherein X' is S, O,

or NII

R<sup>18</sup>, R<sup>19</sup>= independently H(C<sub>1</sub>-C<sub>10</sub>)alkyl(C<sub>1</sub>-C<sub>10</sub>)alkenyl(C<sub>1</sub>-C<sub>10</sub>)alkynyl(C<sub>1</sub>-C<sub>8</sub>)[(C<sub>1</sub>-C<sub>4</sub>)alkoxy]alkyl(C<sub>1</sub>-C<sub>8</sub>)[(C<sub>1</sub>-C<sub>4</sub>)alkoxy]alkenyl(C<sub>6</sub>-C<sub>10</sub>)aryl-(C<sub>1</sub>-C<sub>5</sub>)alkyl(C<sub>2</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>5</sub>)alkylR<sup>20</sup> = independently,

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Halogen

(C<sub>1</sub>-C<sub>3</sub>)alkyl

NO<sub>2</sub>

CN

OCH<sub>3</sub>

N(CH<sub>3</sub>)<sub>2</sub>

N<sub>3</sub>

SH

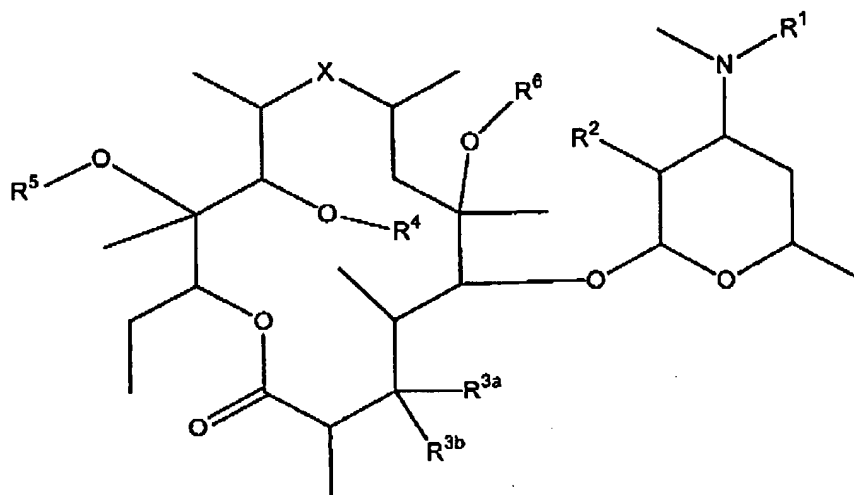
S(C<sub>1</sub>-C<sub>4</sub>)alkyl.

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10. (original) The compound of claim 1, wherein the compound is



wherein:

X = N(R<sup>7</sup>)-CH<sub>2</sub>CH<sub>2</sub>-N(R<sup>7</sup>)

C(=O)

C(=NOR<sup>8</sup>)CH(OR<sup>9</sup>)CH(NR<sup>10</sup>R<sup>11</sup>)C(=NR<sup>12</sup>)

OC(=O)

C(=O)O

Y = independently, linker

Z = C(=O)-

CH(R<sup>16</sup>)-R<sup>1</sup> = HCH<sub>3</sub>(C<sub>2</sub>-C<sub>10</sub>)alkyl



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(C<sub>1</sub>-C<sub>10</sub>)alkenyl(C<sub>1</sub>-C<sub>10</sub>)alkynyl(C<sub>1</sub>-C<sub>8</sub>)[(C<sub>1</sub>-C<sub>4</sub>)alkoxy]alkyl(C<sub>1</sub>-C<sub>8</sub>)[(C<sub>1</sub>-C<sub>4</sub>)alkoxy]alkenyl(C<sub>6</sub>-C<sub>10</sub>)aryl-(C<sub>1</sub>-C<sub>5</sub>)alkyl(C<sub>2</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>5</sub>)alkyl(C<sub>1</sub>-C<sub>4</sub>)alkyliden-NR<sup>18</sup>R<sup>19</sup>Y-R<sup>13</sup>C(=O)-Y-R<sup>15</sup>C(=O)-R<sup>15</sup>S(=O)<sub>k</sub>(C<sub>1</sub>-C<sub>10</sub>)alkylS(=O)<sub>k</sub>(C<sub>1</sub>-C<sub>10</sub>)alkenylS(=O)<sub>k</sub>(C<sub>1</sub>-C<sub>10</sub>)alkynylS(=O)<sub>k</sub>(C<sub>6</sub>-C<sub>10</sub>)arylS(=O)<sub>k</sub>(C<sub>2</sub>-C<sub>9</sub>)heteroarylS(=O)<sub>k</sub>-Y-R<sup>15</sup>S(=O)<sub>k</sub>-R<sup>15</sup>

wherein k is 0, 1 or 2 and alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl and heteroaryl can optionally be substituted by one to three halogen, cyano, hydroxy, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, nitro, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkenyl, (C<sub>1</sub>-C<sub>6</sub>)alkynyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl, NR<sup>18</sup>R<sup>19</sup>, R<sup>18</sup>C(=O)-, R<sup>18</sup>C(=O)O-, R<sup>18</sup>OC(=O)-, R<sup>18</sup>C(=O)NH-, R<sup>18</sup>NHC(=O)-, R<sup>18</sup>R<sup>19</sup>NC(=O)- or R<sup>18</sup>OC(=O)-O-

R<sup>2</sup> = H

(1',2'-cis)-OH

(1',2'-trans)-OH

(1',2'-cis)-OR<sup>15</sup>(1',2'-trans)-OR<sup>15</sup>

(1',2'-cis)-SH

(1',2'-cis)-S-Y-R<sup>13</sup>

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or the R<sup>1</sup> and R<sup>2</sup> bearing atoms are connected via a -OC(=O)CHR<sup>16</sup>- element

R<sup>3a</sup>, R<sup>3b</sup> = independently H

R<sup>1</sup>

OH

OR<sup>11</sup>

NR<sup>10</sup>R<sup>11</sup>

or R<sup>3a</sup> = R<sup>3b</sup> = (=O),

(=NR<sup>1</sup>)

O(CH<sub>2</sub>)<sub>k</sub>O- wherein k is 2 or 3

R<sup>4</sup> = H

C(=O)-Y-R<sup>15</sup>

C(=O)-R<sup>15</sup>

R<sup>5</sup> = H

or R<sup>4</sup>, R<sup>5</sup> are connected by -Z-

R<sup>6</sup> = H

CH<sub>3</sub>

R<sup>7</sup> = H

CH<sub>3</sub>

Y-R<sup>13</sup>

C(=O)-Y-R<sup>15</sup>

C(=O)-R<sup>15</sup>

R<sup>8</sup> = H

Y-R<sup>13</sup>

C(=O)-R<sup>17</sup>

R<sup>9</sup> = H

(C<sub>1</sub>-C<sub>10</sub>)alkyl

(C<sub>1</sub>-C<sub>10</sub>)alkenyl

(C<sub>1</sub>-C<sub>10</sub>)alkynyl

(C<sub>1</sub>-C<sub>8</sub>)[(C<sub>1</sub>-C<sub>4</sub>)alkoxy]alkyl

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$R^{10}, R^{11} =$   
 (C<sub>1</sub>-C<sub>8</sub>)[(C<sub>1</sub>-C<sub>4</sub>)alkoxy]alkenyl  
 (C<sub>6</sub>-C<sub>10</sub>)aryl-(C<sub>1</sub>-C<sub>5</sub>)alkyl  
 (C<sub>2</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>5</sub>)alkyl  
 independently H  
 (C<sub>1</sub>-C<sub>10</sub>)alkyl  
 (C<sub>1</sub>-C<sub>10</sub>)alkenyl  
 (C<sub>1</sub>-C<sub>10</sub>)alkynyl  
 (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl  
 (C<sub>1</sub>-C<sub>9</sub>)heterocycloalkyl  
 (C<sub>6</sub>-C<sub>10</sub>)aryl  
 (C<sub>2</sub>-C<sub>9</sub>)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl are optionally substituted by one to three halogen, cyano, hydroxy, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, nitro, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkenyl, (C<sub>1</sub>-C<sub>6</sub>)alkynyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl, NR<sup>18</sup>R<sup>19</sup>, R<sup>18</sup>C(=O)-, R<sup>18</sup>C(=O)O-, R<sup>18</sup>OC(=O)-, R<sup>18</sup>C(=O)NH-, R<sup>18</sup>NHC(=O)-, R<sup>18</sup>R<sup>19</sup>NC(=O)- or R<sup>18</sup>OC(=O)-O-

or R<sup>10</sup> = H and

R<sup>11</sup> = Y-R<sup>13</sup>

C(=O)-Y-R<sup>15</sup>  
 C(=O)-R<sup>15</sup>  
 S(=O)<sub>k</sub>(C<sub>1</sub>-C<sub>10</sub>)alkyl  
 S(=O)<sub>k</sub>(C<sub>1</sub>-C<sub>10</sub>)alkenyl  
 S(=O)<sub>k</sub>(C<sub>1</sub>-C<sub>10</sub>)alkynyl  
 S(=O)<sub>k</sub>(C<sub>6</sub>-C<sub>10</sub>)aryl  
 S(=O)<sub>k</sub>(C<sub>2</sub>-C<sub>9</sub>)heteroaryl  
 S(=O)<sub>k</sub>-Y-R<sup>15</sup>  
 S(=O)<sub>k</sub>-R<sup>15</sup>

wherein k is 0, 1 or 2 and alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl and heteroaryl can be substituted as defined above.

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 $R^{12} =$  H(C<sub>1</sub>-C<sub>10</sub>)alkyl(C<sub>1</sub>-C<sub>10</sub>)alkenyl(C<sub>1</sub>-C<sub>10</sub>)alkynyl(C<sub>1</sub>-C<sub>8</sub>)[(C<sub>1</sub>-C<sub>4</sub>)alkoxy]alkyl(C<sub>1</sub>-C<sub>8</sub>)[(C<sub>1</sub>-C<sub>4</sub>)alkoxy]alkenyl(C<sub>6</sub>-C<sub>10</sub>)aryl-(C<sub>1</sub>-C<sub>5</sub>)alkyl(C<sub>2</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>5</sub>)alkyl(C<sub>1</sub>-C<sub>4</sub>)alkyliden-NR<sup>18</sup>R<sup>19</sup>Y-R<sup>13</sup> $R^{13} =$  independently, therapeutic agent $R^{15} =$  independently, therapeutic agent $R^{16} =$  independently, HCH<sub>3</sub>(C<sub>2</sub>-C<sub>10</sub>)alkyl(C<sub>1</sub>-C<sub>10</sub>)alkenyl(C<sub>1</sub>-C<sub>10</sub>)alkynyl(C<sub>1</sub>-C<sub>8</sub>)[(C<sub>1</sub>-C<sub>4</sub>)alkoxy]alkyl(C<sub>1</sub>-C<sub>8</sub>)[(C<sub>1</sub>-C<sub>4</sub>)alkoxy]alkenyl(C<sub>6</sub>-C<sub>10</sub>)aryl-(C<sub>1</sub>-C<sub>5</sub>)alkyl(C<sub>2</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>5</sub>)alkyl(C<sub>1</sub>-C<sub>4</sub>)alkyliden-NR<sup>18</sup>R<sup>19</sup>Y-R<sup>13</sup> $R^{17} =$  O-R<sup>20</sup>-aryl

optionally substituted by -X'-Y- therapeutic agent, X'- therapeutic agent wherein X' is

S, O, NH

 $R^{18}, R^{19} =$  independently H(C<sub>1</sub>-C<sub>10</sub>)alkyl(C<sub>1</sub>-C<sub>10</sub>)alkenyl

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$(C_1-C_{10})$ alkynyl  
 $(C_1-C_8)[(C_1-C_4)$ alkoxy]alkyl  
 $(C_1-C_8)[(C_1-C_4)$ alkoxy]alkenyl  
 $(C_6-C_{10})$ aryl- $(C_1-C_5)$ alkyl  
 $(C_2-C_9)$ heteroaryl- $(C_1-C_5)$ alkyl

 $R^{20}$  = independently,

Halogen

 $(C_1-C_3)$ alkyl $NO_2$ 

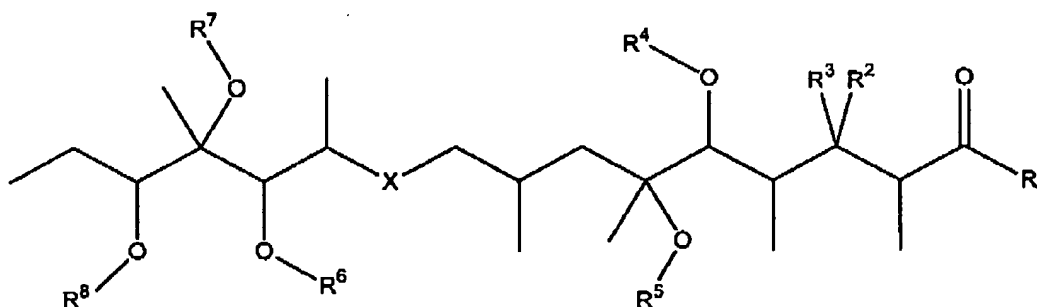
CN

 $OCH_3$  $N(CH_3)_2$  $N_3$ 

SH

 $S(C_1-C_4)$ alkyl.

11. (original) The compound of claim 1, wherein the compound is



wherein

 $X = N(R^9)-CH_2$  $CH_2-N(R^9)$  $C(=O)$

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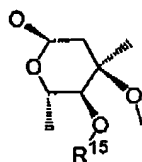
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 $C(=NOR^{10})$  $C(OR^{11})H$  $CH(NR^{12}R^{13})$  $C(=NR^{14})$  $OC(=O)$  $C(=O)O$ 

Y = independently, linker

 $R^1 = OR^{17}$  $NR^{17}R^{18}$ ,

or  $R^1$  is connected to the oxygen bearing  $R^4$  or  $R^5$  forming a lactone or is connected to a suitable substituent in  $R^2$  forming a lactone or lactam,

 $R^2 = O\text{-}2\text{-cladinosyl ( )}$ 

H

 $X'$ , wherein  $X' = \text{halogen}$ 

azido

nitro

cyano

 $OR^{17}$  $OR^{22}$  $NR^{17}R^{18}$  $SR^{17} (C_1\text{-}C_6)\text{alkyl}$  $(C_1\text{-}C_6)\text{alkenyl}$  $(C_1\text{-}C_6)\text{alkynyl}$

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(C<sub>3</sub>-C<sub>10</sub>)cycloalkyl  
 (C<sub>1</sub>-C<sub>9</sub>)heterocycloalkyl  
 (C<sub>6</sub>-C<sub>10</sub>)aryl  
 (C<sub>1</sub>-C<sub>9</sub>)heteroaryl

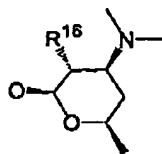
wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C<sub>1</sub>-C<sub>4</sub>)alkyl, (C<sub>1</sub>-C<sub>4</sub>)alkenyl, (C<sub>1</sub>-C<sub>4</sub>)alkynyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R<sup>20</sup>R<sup>21</sup>N-, R<sup>20</sup>C(=O)-, R<sup>20</sup>C(=O)O-, R<sup>20</sup>OC(=O)-, R<sup>20</sup>NHC(=O)-, R<sup>20</sup>C(=O)NH-, R<sup>20</sup>R<sup>21</sup>NC(=O)-, and R<sup>20</sup>OC(=O)O-, -Y-therapeutic agent or -therapeutic agent,

R<sup>3</sup> = H

(C<sub>1</sub>-C<sub>6</sub>)alkyl  
 (C<sub>1</sub>-C<sub>6</sub>)alkenyl  
 (C<sub>1</sub>-C<sub>6</sub>)alkynyl  
 (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl  
 (C<sub>1</sub>-C<sub>9</sub>)heterocycloalkyl  
 (C<sub>6</sub>-C<sub>10</sub>)aryl  
 (C<sub>1</sub>-C<sub>9</sub>)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C<sub>1</sub>-C<sub>4</sub>)alkyl, (C<sub>1</sub>-C<sub>4</sub>)alkenyl, (C<sub>1</sub>-C<sub>4</sub>)alkynyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>1</sub>-

35 U.S.C. 102(b)

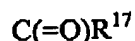
C<sub>9</sub>)heteroaryl, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, or R<sup>20</sup>R<sup>21</sup>N-R<sup>4</sup> = O-2-desosaminyl ( )

H

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Y- therapeutic agent

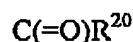
therapeutic agent

S(=O)<sub>2</sub>R<sup>17</sup> providing R<sup>17</sup> is not hydrogenC(=O)NR<sup>17</sup>R<sup>18</sup> (C<sub>1</sub>-C<sub>6</sub>)alkyl(C<sub>1</sub>-C<sub>6</sub>)alkenyl(C<sub>1</sub>-C<sub>6</sub>)alkynyl(C<sub>3</sub>-C<sub>10</sub>)cycloalkyl(C<sub>1</sub>-C<sub>9</sub>)heterocycloalkyl(C<sub>6</sub>-C<sub>10</sub>)aryl(C<sub>1</sub>-C<sub>9</sub>)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C<sub>1</sub>-C<sub>4</sub>)alkyl, (C<sub>1</sub>-C<sub>4</sub>)alkenyl, (C<sub>1</sub>-C<sub>4</sub>)alkynyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R<sup>20</sup>R<sup>21</sup>N-, R<sup>20</sup>C(=O)-, R<sup>20</sup>C(=O)O-, R<sup>20</sup>OC(=O)-, R<sup>20</sup>NHC(=O)-, R<sup>20</sup>C(=O)NII-, R<sup>20</sup>R<sup>21</sup>NC(=O)-, and R<sup>20</sup>OC(=O)O-, -Y- therapeutic agent or -therapeutic agent,

or R<sup>4</sup> is connected to a suitable R<sup>2</sup> containing a N or a O by -C(=O), S(=O)<sub>n</sub>

wherein n = 1 or 2, -CR<sup>20</sup>R<sup>17</sup>-, CR<sup>20</sup>(-Y- therapeutic agent)-, -CR<sup>20</sup>(- therapeutic agent)- forming in dependence of R<sup>2</sup> a 6 or 7-membered ring,

$$R^5 = R^{20}$$


or R<sup>4</sup>, R<sup>5</sup> are connected by C(=O), S(=O)<sub>n</sub> wherein n = 1 or 2, -CR<sup>20</sup>R<sup>17</sup>-, CR<sup>20</sup>(-Y- therapeutic agent)-, -CR<sup>20</sup>(-therapeutic agent)-

R<sup>6</sup>, R<sup>8</sup> = independently H  
 (C<sub>1</sub>-C<sub>6</sub>)alkyl  
 (C<sub>1</sub>-C<sub>6</sub>)alkenyl  
 (C<sub>1</sub>-C<sub>6</sub>)alkynyl  
 (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl



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(C<sub>1</sub>-C<sub>9</sub>)heterocycloalkyl(C<sub>6</sub>-C<sub>10</sub>)aryl(C<sub>1</sub>-C<sub>9</sub>)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C<sub>1</sub>-C<sub>4</sub>)alkyl, (C<sub>1</sub>-C<sub>4</sub>)alkenyl, (C<sub>1</sub>-C<sub>4</sub>)alkynyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R<sup>20</sup>R<sup>21</sup>N-, R<sup>20</sup>C(=O)-, R<sup>20</sup>C(=O)O-, R<sup>20</sup>OC(=O)-, R<sup>20</sup>NHC(=O)-, R<sup>20</sup>C(=O)NH-, R<sup>20</sup>R<sup>21</sup>NC(=O)-, and R<sup>20</sup>OC(=O)O-, -Y-therapeutic agent or -therapeutic agent, or R<sup>6</sup>, R<sup>8</sup> = independently -C(=O)R<sup>17</sup>, -Y-therapeutic agent, -therapeutic agent, -S(=O)<sub>2</sub>R<sup>17</sup> providing R<sup>17</sup> is not hydrogen, -C(=O)NR<sup>17</sup>R<sup>18</sup>,

R<sup>7</sup> = H(C<sub>1</sub>-C<sub>6</sub>)alkyl(C<sub>1</sub>-C<sub>6</sub>)alkenyl(C<sub>1</sub>-C<sub>6</sub>)alkynyl(C<sub>3</sub>-C<sub>10</sub>)cycloalkyl(C<sub>1</sub>-C<sub>9</sub>)heterocycloalkyl(C<sub>6</sub>-C<sub>10</sub>)aryl(C<sub>1</sub>-C<sub>9</sub>)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C<sub>1</sub>-C<sub>4</sub>)alkyl, (C<sub>1</sub>-C<sub>4</sub>)alkenyl, (C<sub>1</sub>-C<sub>4</sub>)alkynyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R<sup>20</sup>R<sup>21</sup>N-, R<sup>20</sup>C(=O)-, R<sup>20</sup>C(=O)O-, R<sup>20</sup>OC(=O)-, R<sup>20</sup>NIIC(=O)-, R<sup>20</sup>C(=O)NH-, R<sup>20</sup>R<sup>21</sup>NC(=O)-, and R<sup>20</sup>OC(=O)O-, -Y-therapeutic agent or -therapeutic agent, or two of each R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup> are connected by -C(=O), S(=O)<sub>n</sub> wherein n = 1 or 2, -CR<sup>20</sup>R<sup>17</sup>-, CR<sup>20</sup>(-Y-therapeutic agent)-, -CR<sup>20</sup>(-therapeutic agent)-,

R<sup>9</sup> = HCH<sub>3</sub>

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Y-therapeutic agent

therapeutic agent

(C<sub>1</sub>-C<sub>6</sub>)alkyl(C<sub>1</sub>-C<sub>6</sub>)alkenyl(C<sub>1</sub>-C<sub>6</sub>)alkynyl,

wherein alkyl, alkenyl, alkynyl groups are optionally substituted by one to five substituents selected independently from halogen, (C<sub>1</sub>-C<sub>4</sub>)alkyl, (C<sub>1</sub>-C<sub>4</sub>)alkenyl, (C<sub>1</sub>-C<sub>4</sub>)alkynyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R<sup>20</sup>R<sup>21</sup>N-, R<sup>20</sup>C(=O)-, R<sup>20</sup>C(=O)O-, R<sup>20</sup>OC(=O)-, R<sup>20</sup>NHC(=O)-, R<sup>20</sup>C(=O)NH-, R<sup>20</sup>R<sup>21</sup>NC(=O)-, and R<sup>20</sup>OC(=O)O-,

-Y- therapeutic agent or -therapeutic agent,

R<sup>10</sup> = C(=O)-aryl

therapeutic agent,

H

(C<sub>1</sub>-C<sub>6</sub>)alkyl(C<sub>1</sub>-C<sub>6</sub>)alkenyl(C<sub>1</sub>-C<sub>6</sub>)alkynyl,

wherein alkyl, alkenyl, alkynyl groups are optionally substituted by one to five substituents selected independently from halogen, (C<sub>1</sub>-C<sub>4</sub>)alkyl, (C<sub>1</sub>-C<sub>4</sub>)alkenyl, (C<sub>1</sub>-C<sub>4</sub>)alkynyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R<sup>20</sup>R<sup>21</sup>N-, R<sup>20</sup>C(=O)-, R<sup>20</sup>C(=O)O-, R<sup>20</sup>OC(=O)-, R<sup>20</sup>NHC(=O)-, R<sup>20</sup>C(=O)NH-, R<sup>20</sup>R<sup>21</sup>NC(=O)-, and R<sup>20</sup>OC(=O)O-,

-Y-therapeutic agent or - therapeutic agent

R<sup>11</sup> = H(C<sub>1</sub>-C<sub>6</sub>)alkyl(C<sub>1</sub>-C<sub>6</sub>)alkenyl(C<sub>1</sub>-C<sub>6</sub>)alkynyl,

wherein alkyl, alkenyl, alkynyl groups are optionally substituted by one to five substituents selected independently from halogen, (C<sub>1</sub>-C<sub>4</sub>)alkyl, (C<sub>1</sub>-C<sub>4</sub>)alkenyl, (C<sub>1</sub>-C<sub>4</sub>)alkynyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>1</sub>-

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$C_6$ )heterocycloalkyl,  $(C_6-C_{10})$ aryl,  $(C_1-C_9)$ heteroaryl,  $(C_1-C_4)$ alkoxy, hydroxy, nitro, cyano, azido, mercapto,  $R^{20}R^{21}N-$ ,  $R^{20}C(=O)-$ ,  $R^{20}C(=O)O-$ ,  $R^{20}OC(=O)-$ ,  $R^{20}NHC(=O)-$ ,  $R^{20}C(=O)NH-$ ,  $R^{20}R^{21}NC(=O)-$ ,  $R^{20}OC(=O)O-$ , -Y- therapeutic agent or -therapeutic agent, or  $R^{11} = -Y-$  therapeutic agent, - therapeutic agent,  $-C(=O)R^{17}$

$R^{12}$ ,  $R^{13} =$  independently H  
 $(C_1-C_6)$ alkyl  
 $(C_1-C_6)$ alkenyl  
 $(C_1-C_6)$ alkynyl  
 $(C_3-C_{10})$ cycloalkyl  
 $(C_1-C_9)$ heterocycloalkyl  
 $(C_6-C_{10})$ aryl  
 $(C_1-C_9)$ heteroaryl,

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen,  $(C_1-C_4)$ alkyl,  $(C_1-C_4)$ alkenyl,  $(C_1-C_4)$ alkynyl,  $(C_3-C_7)$ cycloalkyl,  $(C_1-C_6)$ heterocycloalkyl,  $(C_6-C_{10})$ aryl,  $(C_1-C_9)$ heteroaryl,  $(C_1-C_4)$ alkoxy, hydroxy, nitro, cyano, azido, mercapto,  $R^{20}R^{21}N-$ ,  $R^{20}C(=O)-$ ,  $R^{20}C(=O)O-$ ,  $R^{20}OC(=O)-$ ,  $R^{20}NHC(=O)-$ ,  $R^{20}C(=O)NH-$ ,  $R^{20}R^{21}NC(=O)-$ ,  $R^{20}OC(=O)O-$ , -Y- therapeutic agent or -therapeutic agent, or  $R^{12}$ ,  $R^{13} =$  independently  $-C(=O)R^{17}$ , -Y- therapeutic agent, - therapeutic agent,  $-S(=O)_2R^{17}$  providing  $R^{17}$  is not hydrogen,  $-C(=O)NR^{17}R^{18}$   
 $R^{14} =$  therapeutic agent

H  
 $(C_1-C_6)$ alkyl  
 $(C_1-C_6)$ alkenyl  
 $(C_1-C_6)$ alkynyl  
 $(C_3-C_{10})$ cycloalkyl  
 $(C_1-C_9)$ heterocycloalkyl  
 $(C_6-C_{10})$ aryl  
 $(C_1-C_9)$ heteroaryl

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wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C<sub>1</sub>-C<sub>4</sub>)alkyl, (C<sub>1</sub>-C<sub>4</sub>)alkenyl, (C<sub>1</sub>-C<sub>4</sub>)alkynyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R<sup>20</sup>R<sup>21</sup>N-, R<sup>20</sup>C(=O)-, R<sup>20</sup>C(=O)O-, R<sup>20</sup>OC(=O)-, R<sup>20</sup>NHC(=O)-, R<sup>20</sup>C(=O)NH-, R<sup>20</sup>R<sup>21</sup>NC(=O)-, R<sup>20</sup>OC(=O)O-, -Y-therapeutic agent or -therapeutic agent,

R<sup>15</sup> = HC(=O)R<sup>17</sup>

Y- therapeutic agent,

therapeutic agent,

S(=O)<sub>2</sub>R<sup>17</sup> providing R<sup>17</sup> is not hydrogenC(=O)NR<sup>17</sup>R<sup>18</sup>(C<sub>1</sub>-C<sub>6</sub>)alkyl(C<sub>1</sub>-C<sub>6</sub>)alkenyl(C<sub>1</sub>-C<sub>6</sub>)alkynyl(C<sub>3</sub>-C<sub>10</sub>)cycloalkyl(C<sub>1</sub>-C<sub>9</sub>)heterocycloalkyl(C<sub>6</sub>-C<sub>10</sub>)aryl(C<sub>1</sub>-C<sub>9</sub>)heteroaryl,

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C<sub>1</sub>-C<sub>4</sub>)alkyl, (C<sub>1</sub>-C<sub>4</sub>)alkenyl, (C<sub>1</sub>-C<sub>4</sub>)alkynyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R<sup>20</sup>R<sup>21</sup>N-, R<sup>20</sup>C(=O)-, R<sup>20</sup>C(=O)O-, R<sup>20</sup>OC(=O)-, R<sup>20</sup>NHC(=O)-, R<sup>20</sup>C(=O)NH-, R<sup>20</sup>R<sup>21</sup>NC(=O)-, and R<sup>20</sup>OC(=O)O-, -Y-therapeutic agent or -therapeutic agent,

R<sup>16</sup> = independently, HOR<sup>17</sup>OR<sup>22</sup>R<sup>17</sup>, R<sup>18</sup> = independently H

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(C<sub>1</sub>-C<sub>6</sub>)alkyl  
 (C<sub>1</sub>-C<sub>6</sub>)alkenyl  
 (C<sub>1</sub>-C<sub>6</sub>)alkynyl  
 (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl  
 (C<sub>1</sub>-C<sub>9</sub>)heterocycloalkyl  
 (C<sub>6</sub>-C<sub>10</sub>)aryl  
 (C<sub>1</sub>-C<sub>9</sub>)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C<sub>1</sub>-C<sub>4</sub>)alkyl, (C<sub>1</sub>-C<sub>4</sub>)alkenyl, (C<sub>1</sub>-C<sub>4</sub>)alkynyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R<sup>20</sup>R<sup>21</sup>N-, R<sup>20</sup>C(=O)-, R<sup>20</sup>C(=O)O-, R<sup>20</sup>OC(=O)-, R<sup>20</sup>NHC(=O)-, R<sup>20</sup>C(=O)NH-, R<sup>20</sup>R<sup>21</sup>NC(=O)-, and R<sup>20</sup>OC(=O)O-, -Y-therapeutic agent or -therapeutic agent,

or provided that connected to a nitrogen, R<sup>17</sup>, R<sup>18</sup> may form a cyclic structure of 4 to 7 members (including the nitrogen). R<sup>17</sup> and R<sup>18</sup> then can represent a fragment from the type of -[C(AB)]<sub>m</sub>-Ξ<sub>n</sub>-[C(DE)]<sub>o</sub>-Ψ<sub>p</sub>-[C(GJ)]<sub>q</sub> wherein m, n, o, p and q independently are 0, 1, 2, 3, 4, 5, or 6, Ξ and Ψ independently are -O-, -S-, -NK- and A, B, D, E, G, J, and K independently are hydrogen, (C<sub>1</sub>-C<sub>4</sub>)alkyl, (C<sub>1</sub>-C<sub>4</sub>)alkenyl, (C<sub>1</sub>-C<sub>4</sub>)alkynyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R<sup>20</sup>R<sup>21</sup>N-, R<sup>20</sup>C(=O)-, R<sup>20</sup>C(=O)O-, R<sup>20</sup>OC(=O)-, R<sup>20</sup>NHC(=O)-, R<sup>20</sup>C(=O)NH-, R<sup>20</sup>R<sup>21</sup>NC(=O)-, and R<sup>20</sup>OC(=O)O-  
 R<sup>20</sup>, R<sup>21</sup> = independently H

(C<sub>1</sub>-C<sub>6</sub>)alkyl

R<sup>22</sup> = independently, C(=O)R<sup>17</sup>

Y- therapeutic agent

therapeutic agent,

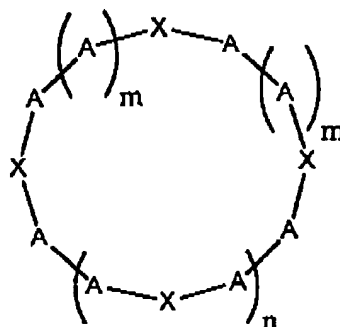
S(=O)<sub>2</sub>R<sup>17</sup> providing R<sup>17</sup> is not hydrogen, -C(=O)NR<sup>17</sup>R<sup>18</sup>.

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12. (original) The compound of claim 1, wherein the compound is



wherein:

$m =$  independently, 0, 1, 2, 3

$n =$  0 - 7

$X =$  independently, O

S

Se

$\text{NR}^1$

$\text{PR}^1$

with the proviso, that at least one  $X = -\text{NR}^1-$

$A =$  independently,  $\text{CH}_2$

$\text{CHR}^2$

$\text{CR}^2\text{R}^3$

$\text{C}(=\text{O})$

with the proviso, that at least one  $X = -\text{NR}^1-$  is not an amide

$\text{R}^1 =$  independently, H

$(\text{C}_1\text{-C}_{10})$ alkyl, optionally substituted by fluoro, cyano,  $\text{R}^4$ ,  $\text{R}^4\text{O}_2\text{C}$ ,  $\text{R}^4\text{C}(=\text{O})\text{NH}$  and

$\text{R}^4\text{S}(=\text{O})_k$  wherein  $k$  is 0, 1 or 2

$\text{R}^4\text{C}(=\text{O})$ ,  $\text{R}^4\text{S}(=\text{O})_k$  wherein  $k$  is 0, 1 or 2

$\text{R}^2, \text{R}^3 =$  independently  $\text{NH}_2$

$\text{NHR}^1$

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 $\text{NR}^1\text{R}^5$ 

OH,

 $\text{OR}^4$  $\text{R}^4\text{C}(=\text{O}) (\text{C}_1\text{-C}_6)\text{alkyl}$  $(\text{C}_2\text{-C}_{12})\text{alkenyl}$  $(\text{C}_2\text{-C}_{12})\text{alkynyl}$  $(\text{C}_3\text{-C}_{10})\text{cycloalkyl}(\text{C}_1\text{-C}_6)\text{alkyl}$  $(\text{C}_2\text{-C}_9)\text{heterocycloalkyl}(\text{C}_1\text{-C}_6)\text{alkyl}$  $(\text{C}_6\text{-C}_{10})\text{aryl}(\text{C}_1\text{-C}_6)\text{alkyl}$  $(\text{C}_2\text{-C}_9)\text{heteroaryl}(\text{C}_1\text{-C}_6)\text{alkyl},$ 

wherein the alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl groups are optionally substituted by one to three halo,  $(\text{C}_1\text{-C}_4)\text{alkoxy}$ , hydroxy, nitro, cyano,  $-\text{C}(=\text{O})-\text{OR}^8$ ,  $-\text{C}(=\text{O})\text{N}(\text{H})\text{R}^8$ ,  $(\text{C}_6\text{-C}_{10})\text{aryl}$ ,  $(\text{C}_2\text{-C}_9)\text{heteroaryl}$ ,  $\text{N}^*\text{R}^5\text{R}^6\text{R}^7$  wherein \* is no or a positive charge, one or two of  $\text{R}^2$ ,  $\text{R}^3$  can be a directly coupled therapeutic agent,

 $\text{R}^4 =$  independently, $\text{NH}_2$  $\text{NHR}^9$  $\text{NR}^9\text{R}^5$ 

OH

 $\text{OR}^9$  $(\text{C}_1\text{-C}_6)\text{alkyl}$  $(\text{C}_2\text{-C}_{12})\text{alkenyl}$  $(\text{C}_2\text{-C}_{12})\text{alkynyl}$  $(\text{C}_3\text{-C}_{10})\text{cycloalkyl}(\text{C}_1\text{-C}_6)\text{alkyl}$  $(\text{C}_2\text{-C}_9)\text{heterocycloalkyl}(\text{C}_1\text{-C}_6)\text{alkyl}$  $(\text{C}_6\text{-C}_{10})\text{aryl}(\text{C}_1\text{-C}_6)\text{alkyl}$  $(\text{C}_2\text{-C}_9)\text{heteroaryl}(\text{C}_1\text{-C}_6)\text{alkyl},$

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wherein the alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl groups are optionally substituted by one to three halo, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, hydroxy, nitro, cyano, R<sup>8</sup>, -C(=O)-OR<sup>8</sup>, -C(=O)N(H)R<sup>8</sup>, (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>2</sub>-C<sub>9</sub>)heteroaryl, N\*R<sup>5</sup>R<sup>6</sup>R<sup>7</sup> wherein \* is no or a positive charge, or a therapeutic agent,

R<sup>5</sup>, R<sup>6</sup> = independently H  
 (C<sub>1</sub>-C<sub>6</sub>), optionally substituted by hydroxy  
 (C<sub>6</sub>-C<sub>10</sub>)aryl  
 (C<sub>2</sub>-C<sub>9</sub>)heteroaryl

R<sup>7</sup> = independently,  
 lone electron pair  
 CH<sub>3</sub>  
 C<sub>2</sub>H<sub>5</sub>  
 C<sub>3</sub>H<sub>7</sub>  
 CH<sub>2</sub>-C<sub>6</sub>H<sub>5</sub>

R<sup>8</sup> = independently, therapeutic agent

R<sup>9</sup> = independently,  
 (C<sub>1</sub>-C<sub>6</sub>) alkyl  
 (C<sub>2</sub>-C<sub>12</sub>)alkenyl  
 (C<sub>2</sub>-C<sub>12</sub>)alkynyl  
 (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl  
 (C<sub>2</sub>-C<sub>9</sub>)heterocycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl  
 (C<sub>6</sub>-C<sub>10</sub>)aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl or  
 (C<sub>2</sub>-C<sub>9</sub>)heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl,

wherein the alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl groups are optionally substituted by one to three halo, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, hydroxy, nitro, cyano, R<sup>8</sup>, -C(=O)-OR<sup>8</sup>, -C(=O)N(H)R<sup>8</sup>, (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>2</sub>-C<sub>9</sub>)heteroaryl, N\*R<sup>5</sup>R<sup>6</sup>R<sup>7</sup> wherein \* is no or a positive charge, or a therapeutic agent.

13. (original) The compound of claim 1, wherein the linker is



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(C<sub>1</sub>-C<sub>8</sub>)alkyl,  
(C<sub>1</sub>-C<sub>8</sub>)alkenyl,  
(C<sub>1</sub>-C<sub>8</sub>)alkynyl,  
(C<sub>3</sub>-C<sub>10</sub>)cycloalkyl,  
(C<sub>6</sub>-C<sub>10</sub>)aryl,  
(C<sub>2</sub>-C<sub>9</sub>)heteroalkyl, or  
(C<sub>2</sub>-C<sub>9</sub>)heteroaryl,

wherein alkyl-, alkenyl, alkynyl, cycloalkyl, aryl or heteroaryl spacing elements are optionally substituted by (C<sub>1</sub>-C<sub>6</sub>)alkyl, 1-4 halogens, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkoxycarbonyl, hydroxy, amino, (C<sub>1</sub>-C<sub>4</sub>)alkylamino, (C<sub>1</sub>-C<sub>4</sub>)dialkylamino, (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)alkylcarbonyloxy, (C<sub>1</sub>-C<sub>6</sub>)alkylcarbonylamido, (C<sub>1</sub>-C<sub>4</sub>)alkylamidocarbonyl, (C<sub>1</sub>-C<sub>4</sub>)dialkylamidocarbonyl, nitro, cyano, (C<sub>1</sub>-C<sub>4</sub>)alkylimino, mercapto or (C<sub>1</sub>-C<sub>4</sub>)alkylmercapto.

14. (original) The compound of claim 1, wherein the non-antibiotic therapeutic agent is an anti-inflammatory agent.

15. (original) The compound of claim 1, wherein the non-antibiotic therapeutic agent is an anti-infectious agent.

16. (original) The compound of claim 1, wherein the non-antibiotic therapeutic agent is an anti-cancer agent.

17. (original) The compound of claim 1, wherein the non-antibiotic therapeutic agent is an allergy-suppressive agent.

18. (original) The compound of claim 1, wherein the non-antibiotic therapeutic agent is an immune-suppressant agent.

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19. (original) The compound of claim 1, wherein the non-antibiotic therapeutic agent is an agent for treating a hematopoietic disorder.

20. (original) The compound of claim 1, wherein the non-antibiotic therapeutic agent is an agent for treating a metabolic disease.

21. (original) A pharmaceutical composition comprising a compound of claim 1 and a pharmaceutically acceptable carrier.

22. (original) A method of treating an inflammatory disorder, comprising administering to a subject in need thereof an effective amount of a compound of claim 1, wherein the non-antibiotic therapeutic agent is an anti-inflammatory agent.

23. (original) A method of treating an infectious disease, comprising administering to a subject in need thereof an effective amount of a compound of claim 1, wherein the non-antibiotic therapeutic agent is an anti-infectious agent.

24. (original) A method of treating cancer, comprising administering to a subject in need thereof an effective amount of a compound of claim 1, wherein the non-antibiotic therapeutic agent is an anti-cancer agent.

25. (original) A method of treating allergy, comprising administering to a subject in need thereof an effective amount of a compound of claim 1, wherein the non-antibiotic therapeutic agent is an allergy-suppressive agent.

26. (original) A method of treating an immune disorder, comprising administering to a subject in need thereof an effective amount of a compound of claim 1, wherein the non-antibiotic therapeutic agent is an immune-suppressant agent.